# PATENT COOPERATION T[illegible]AT



PCT

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

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## NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

| | |
|---|---|
| Date of mailing *(day/month/year)* | 30.04.2001 |
| Applicant's or agent's file reference: PH 99003 | **IMPORTANT NOTIFICATION** |

| International application No. | International filing date *(day/month/year)* | Priority date *(day/month/year)* |
|---|---|---|
| PCT/EP00/01101 | 01/02/2000 | 04/02/1999 |

Applicant: AVENTIS CROPSCIENCE S.A. et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

| Name and mailing address of the IPEA/ | Authorized officer |
|---|---|
| European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656 epmu d<br>Fax: +49 89 2399 - 4465 | Ambroa, J.R.<br><br>Tel.+49 89 2399-8012 |

# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

| Applicant's or agent's file reference | FOR FURTHER ACTION | See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) |
|---|---|---|
| PH 99003 | | |
| International application No. | International filing date *(day/month/year)* | Priority date *(day/month/year)* |
| PCT/EP00/01101 | 01/02/2000 | 04/02/1999 |
| International Patent Classification (IPC) or national classification and IPC<br>C07D231/38 | | |
| Applicant<br>AVENTIS CROPSCIENCE S.A. et al. | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations suporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☒ Certain observations on the international application

| Date of submission of the demand | Date of completion of this report |
|---|---|
| 10/08/2000 | 30.04.2001 |
| Name and mailing address of the international preliminary examining authority:<br>European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656 epmu d<br>Fax: +49 89 2399 - 4465 | Authorized officer<br>Timmermans, M<br>*Telephone No. +49 89 2399 8940* |

Form PCT/IPEA/409 (cover sheet) ( January 1994)

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/01101

## I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:
**Description, pages:**

| | |
|---|---|
| 1-10 | as originally filed |

**Claims, No.:**

| | |
|---|---|
| 1-10 | as originally filed |

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   - ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
   - ☐ the language of publication of the international application (under Rule 48.3(b)).
   - ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   - ☐ contained in the international application in written form.
   - ☐ filed together with the international application in computer readable form.
   - ☐ furnished subsequently to this Authority in written form.
   - ☐ furnished subsequently to this Authority in computer readable form.
   - ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
   - ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   - ☐ the description, pages:
   - ☐ the claims, Nos.:
   - ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

| | | | |
|---|---|---|---|
| Novelty (N) | Yes: | Claims | 4-8 |
| | No: | Claims | 1-3,9-10 |
| Inventive step (IS) | Yes: | Claims | 5-6 |
| | No: | Claims | 1-4, 7-10 |
| Industrial applicability (IA) | Yes: | Claims | 1-10 |
| | No: | Claims | |

2. Citations and explanations
**see separate sheet**

**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:
**see separate sheet**

**Re Item V**

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The following documents are relevant :

D1: WO 98 39302 A
D2: WO 94 13643 A
D3: WO 97 32843 A
D4: EP-A-0 295 117
D5: EP-A-0 234 119

1. Document D1 discloses a process for preparing 5-amino-1-aryl-3-cyanopyrazole derivatives comprising the the cyclization of a 2-arylhydrazonosuccinonitrile intermediate.
D2 relates to pyrazoles such as 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-(2,5-dimethylbenzoyl)-3-methylthiopyrazole and preparation process thereof.
D3 teaches the preparation process of pesticidal intermediates such as ethyl 2,3-dicyano-2-[2,6-dichloro-4-trifluoromethylphenyl)azo]propionate.
D4 relates to N-phenylpyrazole derivatives such as 5-amino-1-(2-chloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole.
D5 discloses N-phenylpyrazole compounds such as 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3,4-dicyanopyrazole.

2. The novelty of the subject-matter of the present application is not acknowledged. (Art. 33(2) PCT).

2.1 Document D4 discloses N-phenylpyrazole derivatives of formula (I) which broadly overlap with the compounds the process of the present invention is directed to (see D4, claim 1 wherein $R^1$ is a cyano group, $R^2$ is a $R^5SO_2$-, $R^5SO$- or $R^5S$- group $R^5$ being alkyl or haloalkyl, $R^3$ is an amino group and $R^4$ a phenyl group substituted in position 2 with a halogen, position 4 with a haloalkyl group and optionally in position 6 with another halogen atom).
D4 discloses several processes for the preparation of the said N-phenylpyrazole derivatives of formula (I), including the preparation of a first intermediate wherein $R^1$ is chlorine or bromine by reaction of a phenylhydrazine (V) (see D4, page 11)

with a compound of formula (IV) (see D4, page 34) followed by the reaction of the said intermediate wherein $R^1$ is chlorine or bromine with a metal cyanide such as KCN (see D4, page 12, l. 47-52). The hereabove described features thus correspond to the preparation in claim 1 of the corresponding intermediate of formula (II) wherein $R^7$ and $R^8$ are both cyano groups and the subsequent reaction with a cyanide salt.

D4 disclosing all the technical features of claim 1, it is prejudicial to the novelty of the present application (Art. 33(2) PCT). The reaction of compounds (V) and (IV) of D4 leading to the intermediates of formula (II) of the present application, D4 is also prejudicial to the novelty of compound claim 9.

2.2 D4 is also prejudicial to the novelty of claim 2 (KCN being an alkali metal cyanide) and claim 3 (prefered solvent : sulpholane, which is a sulphoxide).

2.3 Claim 10 relates to dicyano intermediates of formula (III). The said compounds have never been examplified in the present application and are considered as being merely hypothetical and thus not sufficiently disclosed (Art. 5 PCT). Should the Applicant whatever considers that the said compounds are sufficiently disclosed and the only ones obtainable through the process, then it has to be noticed that the reactions described in D4 (see Point 2.1 hereabove) should proceed through equivalent intermediates and thus that D4 should then be considered as being prejudicial to the novelty of product claim 10.

2.4 Claims 4 to 8 are considered as being novel, no available prior art document disclosing the two step-process for preparing the intermediates of formula (IV).

3. If the lack of novelty of the present set of claims should be overcome, it is considered at the present time that such an amended set of claims would not involve an inventive step in the sense of Article 33(3 PCT.

3.1 Document D4, which is considered to represent the most relevant state of the art, discloses processes for the preparation of N-phenylpyrazole derivatives such as 5-amino-1-(2-chloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethyl-thiopyrazole from which the subject-matter of claim 5 differs in that the

intermediate of formula (II) are obtained through compounds of formula (IV) and not through chlorovinylic products (see D4, formula (IV) page 34).

*The problem to be solved by the present invention could therefore be regarded as* the provision of a process for the preparation of the said intermediate compounds of formula (II).

No available prior art document discloses the preparation of compounds of formula (IV) via the reaction of a phenylhydrazine (V) with a carbonyl-containing compound (VI) or suggests such a reaction. Moreover, such a process for the preparation of the intermediates of formula (IV) allows the man skilled in the art to avoid a diazotisation step and all the resulting hazards.
An inventive step can thus be acknowledged for claims 5 and 6 (Art. 33(3) PCT).

3.2 However claims 7 and 8 refer back to claims 1-4 and do not contain any of the technical features of claim 5. Claims 7 and 8 are thus considering as lacking an inventive step (Art 33(3) PCT). The subject-matter of claim 4 differs from D4 merely by specifying the amount of cyanide used. No surprising effect resulting therefrom being shown, this feature is considered as customary practise and claim 4 as lacking an inventive step.

4. The industrial applicability of the present application is acknowledged (Art. 33(4) PCT).

**Re Item VIII**

Certain observations on the international application

1. The precise scope of the term "**leaving group**" used in claims 1 and 5 has no generally accepted meaning and is dependant on the reaction conditions. That term renders the claim unclear (Art. 6 PCT) and should be replaced by the possible substituents.

2. Claim 10 is not supported by the description as required by Article 6 PCT, as its scope is broader than justified by the description and drawings. The use of the term "alkyl" in the present set of claims renders the claims open-ended as far as no chain-length is given. The chain length should be explicitly defined in the claims, according to the data given in the description on page 3, l. 21 and following.